09/713,512

```
Trying 3106016892...Open

Welcome to STN International!  Enter x:
Welcome to STN International!  Enter x:x
LOGINID:sssptau129pxo
PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2


* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *

 NEWS  1          Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2  Sep 17  IMSworld Pharmaceutical Company Directory name change
                  to PHARMASEARCH
 NEWS  3  Oct 09  Korean abstracts now included in Derwent World Patents
                  Index
 NEWS  4  Oct 09  Number of Derwent World Patents Index updates increased
 NEWS  5  Oct 15  Calculated properties now in the REGISTRY/ZREGISTRY File
 NEWS  6  Oct 22  Over 1 million reactions added to CASREACT
 NEWS  7  Oct 22  DGENE GETSIM has been improved
 NEWS  8  Oct 29  AAASD no longer available
 NEWS  9  Nov 19  New Search Capabilities  USPATFULL and USPAT2
 NEWS 10  Nov 19  TOXCENTER(SM) - new toxicology file now available on STN
 NEWS 11  Nov 29  COPPERLIT now available on STN
 NEWS 12  Nov 29  DWPI revisions to NTIS and US Provisional Numbers
 NEWS 13  Nov 30  Files VETU and VETB to have open access
 NEWS 14  Dec 10  WPINDEX/WPIDS/WPIX New and Revised Manual Codes for 2002
 NEWS 15  Dec 10  DGENE BLAST Homology Search
 NEWS 16  Dec 17  WELDASEARCH now available on STN
 NEWS 17  Dec 17  STANDARDS now available on STN
 NEWS 18  Dec 17  New fields for DPCI
 NEWS 19  Dec 19  CAS Roles modified
 NEWS 20  Dec 19  1907-1946 data and page images added to CA and CAplus
 NEWS 21  Jan 25  BLAST(R) searching in REGISTRY available in STN on the Web
 NEWS 22  Jan 25  Searching with the P indicator for Preparations
 NEWS 23  Jan 29  FSTA has been reloaded and moves to weekly updates
 NEWS 24  Feb 01  DKILIT now produced by FIZ Karlsruhe and has a new update
                  frequency
 NEWS 25  Feb 19  Access via Tymnet and SprintNet Eliminated Effective 3/31/02
 NEWS 26  Mar 08  Gene Names now available in BIOSIS
 NEWS 27  Mar 22  TOXLIT no longer available
 NEWS 28  Mar 22  TRCTHERMO no longer available

 NEWS EXPRESS  February 1 CURRENT WINDOWS VERSION IS V6.0d,
               CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP),
               AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002
 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS INTER    General Internet Information
 NEWS LOGIN    Welcome Banner and News Items
 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
 NEWS WWW      CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that
specific topic.

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  of commercial gateways or other similar uses is prohibited and may
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result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 13:23:15 ON 23 MAR 2002

=> file reg
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.75	0.75

FILE 'REGISTRY' ENTERED AT 13:26:14 ON 23 MAR 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

STRUCTURE FILE UPDATES: 20 MAR 2002 HIGHEST RN 402467-99-6
DICTIONARY FILE UPDATES: 20 MAR 2002 HIGHEST RN 402467-99-6

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

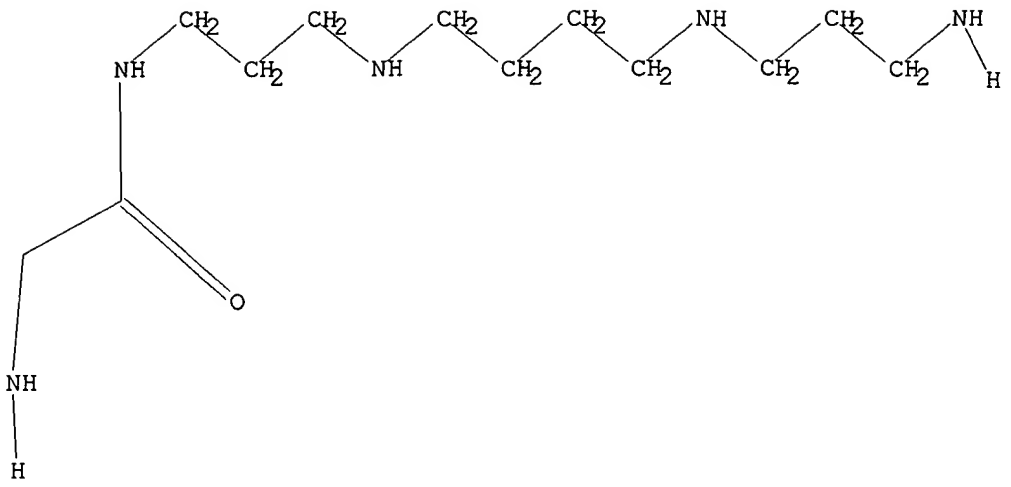
The P indicator for Preparations was not generated for all of the CAS Registry Numbers that were added to the H/Z/CA/CAplus files between 12/27/01 and 1/23/02. Use of the P indicator in online and SDI searches during this period, either directly appended to a CAS Registry Number or by qualifying an L-number with /P, may have yielded incomplete results. As of 1/23/02, the situation has been resolved. Also, note that searches conducted using the PREP role indicator were not affected.

Customers running searches and/or SDIs in the H/Z/CA/CAplus files incorporating CAS Registry Numbers with the P indicator between 12/27/01 and 1/23/02, are encouraged to re-run these strategies. Contact the CAS Help Desk at 1-800-848-6533 in North America or 1-614-447-3698, worldwide, or send an e-mail to help@cas.org for further assistance or to receive a credit for any duplicate searches.

=>
Uploading 523.str

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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=> s l1
SAMPLE SEARCH INITIATED 13:26:43 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    141 TO ITERATE

100.0% PROCESSED     141 ITERATIONS                                2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          2108 TO     3532
PROJECTED ANSWERS:                2 TO      124

L2            2 SEA SSS SAM L1

=> search l1
ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:.
ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:ful
FULL SEARCH INITIATED 13:26:58 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   2814 TO ITERATE

100.0% PROCESSED    2814 ITERATIONS                               59 ANSWERS
SEARCH TIME: 00.00.01

L3           59 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                140.22     140.97

FILE 'CAPLUS' ENTERED AT 13:27:02 ON 23 MAR 2002
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FILE COVERS 1907 - 23 Mar 2002 VOL 136 ISS 13
FILE LAST UPDATED: 21 Mar 2002 (20020321/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

The P indicator for Preparations was not generated for all of the CAS Registry Numbers that were added to the CAS files between 12/27/01 and 1/23/02. As of 1/23/02, the situation has been resolved. Searches and/or SDIs in the H/Z/CA/CAplus files incorporating CAS Registry Numbers with the P indicator executed between 12/27/01 and 1/23/02 may be incomplete. See the NEWS message on this topic for more information.

=> s l3
L4 10 L3

=> d l4 fbib ab hitstr 1-10

L4 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2002 ACS
AN 2001:886056 CAPLUS
DN 136:15226
TI Novel polyamine transport-inhibiting polyamine analogues as therapeutic and diagnostic agents
IN Vermeulin, Nicolaas M. J.; O'day, Christine L.; Webb, Heather K.; Burns, Mark R.; Bergstrom, Donald E.
PA Oridigm Corporation, USA
SO PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001092218	A2	20011206	WO 2001-US17795	20010531

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
US 2000-584175 A 20000531

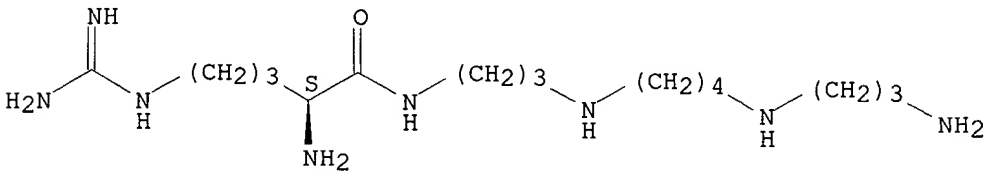
AB Novel "bispolyamine" inhibitor compds. of polyamine transport are disclosed. These compds. are useful pharmaceutical agents for treating diseases where it is desired to inhibit polyamine transport or other polyamine binding proteins, for example cancer and post-angioplasty injury. These compds. display desirable activities both for diagnostic and research assays and therapy. Most of the spermine dimers that have been tested provided very good Ki for transport inhibition with values under 75 nM. ORI 1236 (I) was the most potent inhibitor with a Ki of 22 nM. The results were generally mirrored in the growth inhibition assay. All of the compds. were synergistic with difluoromethylornithine, a polyamine synthesis inhibitor, with IC50 values of 10 .mu.M or less.

IT **134950-94-0 134951-06-7 207501-47-1**
220221-40-9 220221-58-9 220221-61-4
220221-68-1 220221-70-5 220221-75-0
220221-77-2 220221-83-0 287968-61-0
330162-75-9 330162-81-7 330162-89-5
330162-90-8 330162-91-9 330162-93-1
330162-94-2 330162-97-5 330162-98-6
330162-99-7 330163-00-3 330163-01-4
377726-20-0 377726-21-1
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(novel polyamine transport-inhibiting polyamine analogs as therapeutic and diagnostic agents)

RN 134950-94-0 CAPLUS

CN Pentanamide, 2-amino-5-[(aminoiminomethyl)amino]-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (2S)- (9CI) (CA INDEX NAME)

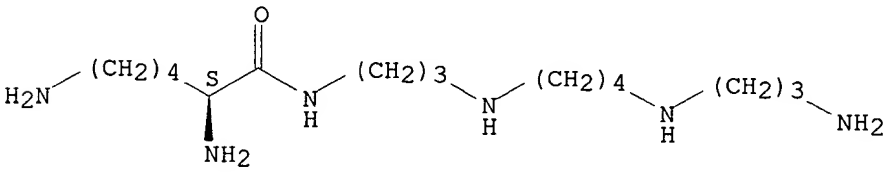
Absolute stereochemistry.



RN 134951-06-7 CAPLUS

CN Hexanamide, 2,6-diamino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (2S)- (9CI) (CA INDEX NAME)

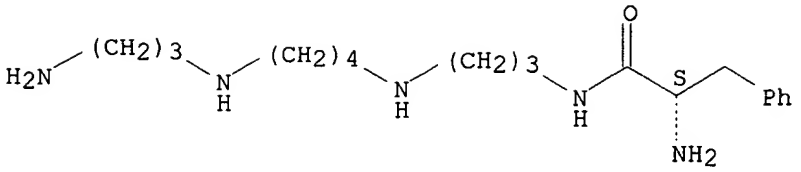
Absolute stereochemistry.



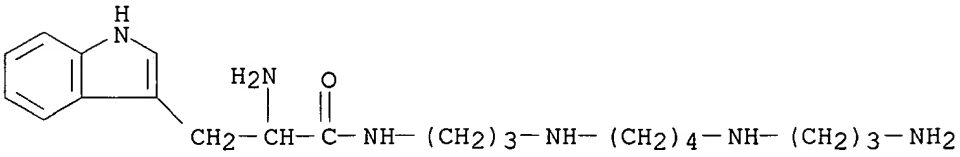
RN 207501-47-1 CAPLUS

CN Benzenepropanamide, .alpha.-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

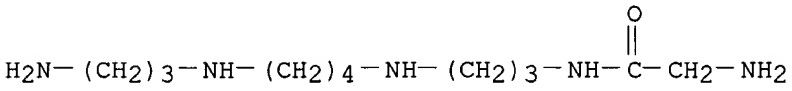
Absolute stereochemistry.



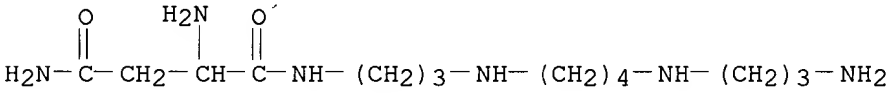
RN 220221-40-9 CAPLUS
CN 1H-Indole-3-propanamide, .alpha.-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]- (9CI) (CA INDEX NAME)



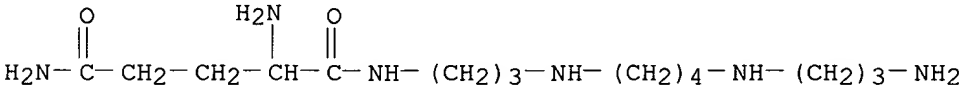
RN 220221-58-9 CAPLUS
CN Acetamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]- (9CI) (CA INDEX NAME)



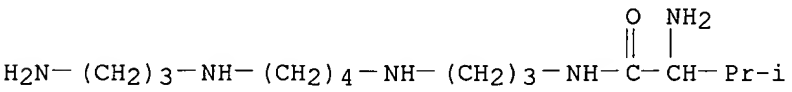
RN 220221-61-4 CAPLUS
CN Butanediamide, 2-amino-N1-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]- (9CI) (CA INDEX NAME)



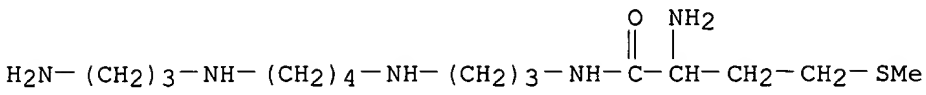
RN 220221-68-1 CAPLUS
CN Pentanediamide, 2-amino-N1-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]- (9CI) (CA INDEX NAME)



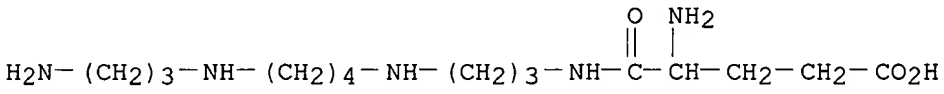
RN 220221-70-5 CAPLUS
CN Butanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-methyl- (9CI) (CA INDEX NAME)



RN 220221-75-0 CAPLUS
CN Butanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-4-(methylthio)- (9CI) (CA INDEX NAME)

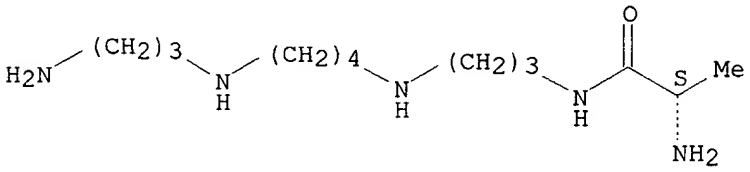


RN 220221-77-2 CAPLUS
CN Pentanoic acid, 4-amino-5-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-5-oxo- (9CI) (CA INDEX NAME)



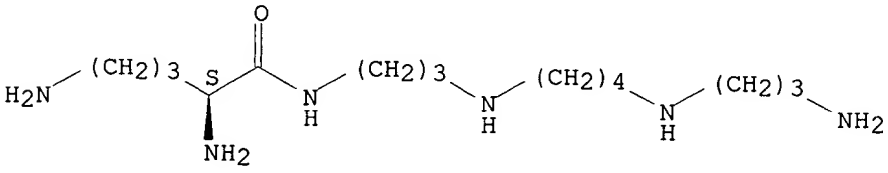
RN 220221-83-0 CAPLUS
CN Propanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 287968-61-0 CAPLUS
CN Pentanamide, 2,5-diamino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (2S)- (9CI) (CA INDEX NAME)

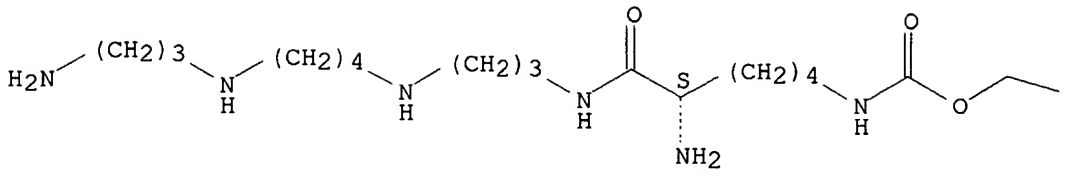
Absolute stereochemistry.



RN 330162-75-9 CAPLUS
CN 2,9,13,18-Tetraazaheneicosanoic acid, 7,21-diamino-8-oxo-, phenylmethyl ester, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

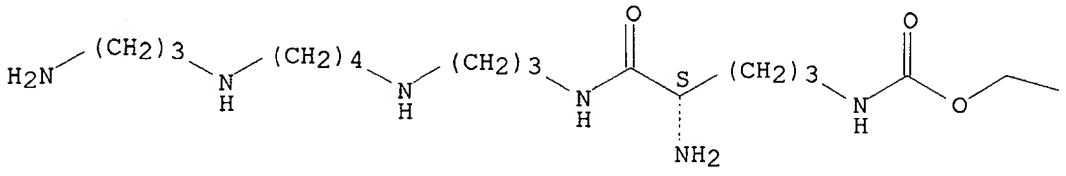


PAGE 1-B

RN 330162-81-7 CAPLUS
CN 2,8,12,17-Tetraazaeicosanoic acid, 6,20-diamino-7-oxo-, phenylmethyl ester, (6S)- (9CI) (CA INDEX NAME)

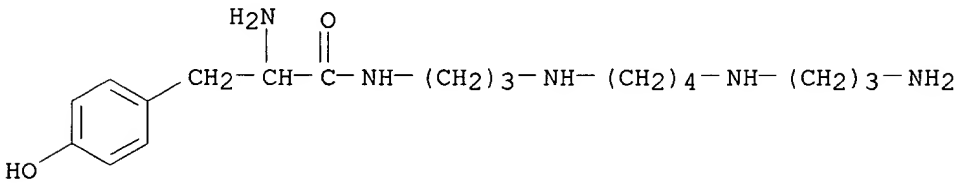
Absolute stereochemistry.

PAGE 1-A

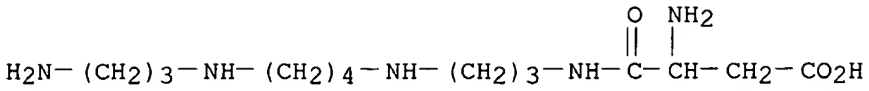


PAGE 1-B

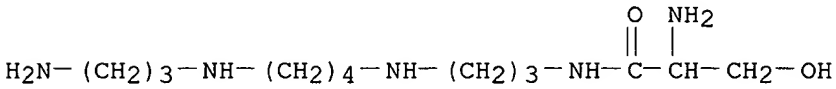
RN 330162-89-5 CAPLUS
CN Benzenepropanamide, .alpha.-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-4-hydroxy- (9CI) (CA INDEX NAME)



RN 330162-90-8 CAPLUS
CN Butanoic acid, 3-amino-4-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-4-oxo- (9CI) (CA INDEX NAME)

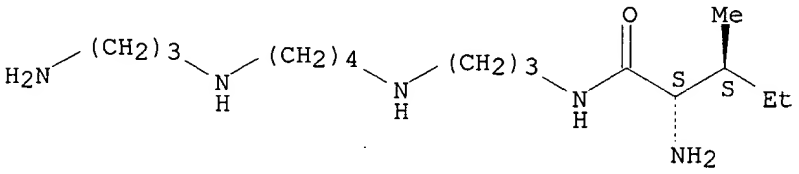


RN 330162-91-9 CAPLUS
CN Propanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy- (9CI) (CA INDEX NAME)



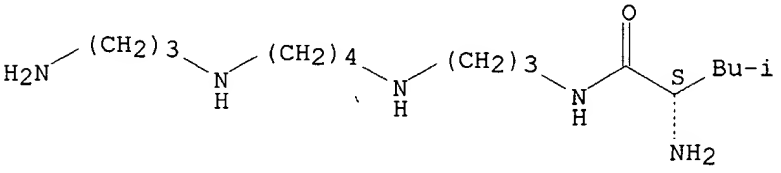
RN 330162-93-1 CAPLUS
CN Pentanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-methyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



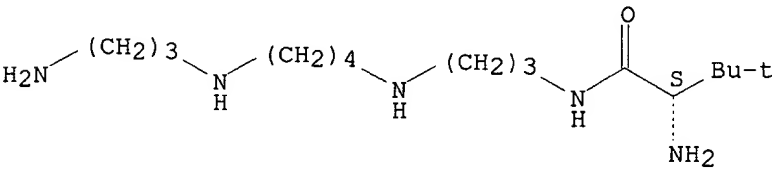
RN 330162-94-2 CAPLUS
CN Pentanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 330162-97-5 CAPLUS
CN Butanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,3-dimethyl-, (2S)- (9CI) (CA INDEX NAME)

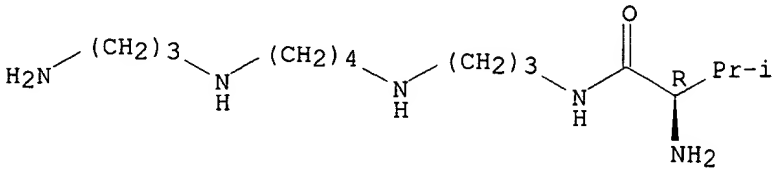
Absolute stereochemistry.



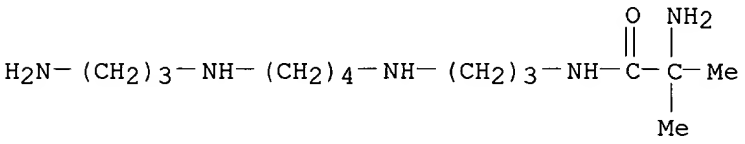
RN 330162-98-6 CAPLUS

CN Butanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

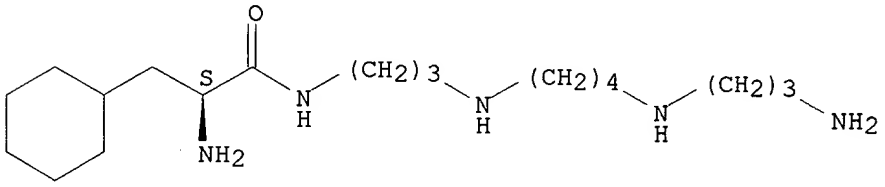


RN 330162-99-7 CAPLUS
CN Propanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-2-methyl- (9CI) (CA INDEX NAME)



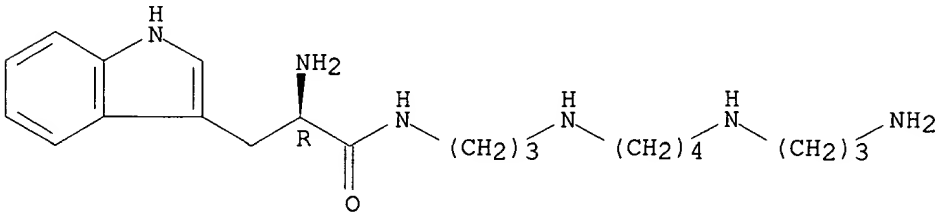
RN 330163-00-3 CAPLUS
CN Cyclohexanepropanamide, .alpha.-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



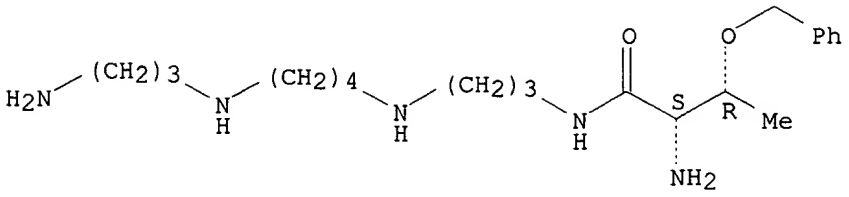
RN 330163-01-4 CAPLUS
CN 1H-Indole-3-propanamide, .alpha.-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



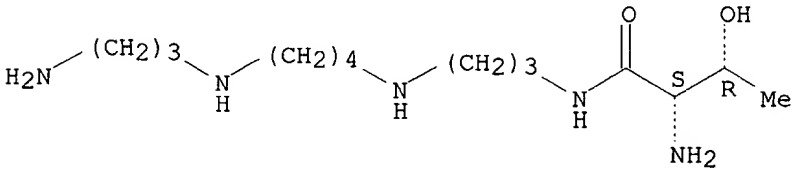
RN 377726-20-0 CAPLUS
CN Butanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-(phenylmethoxy)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



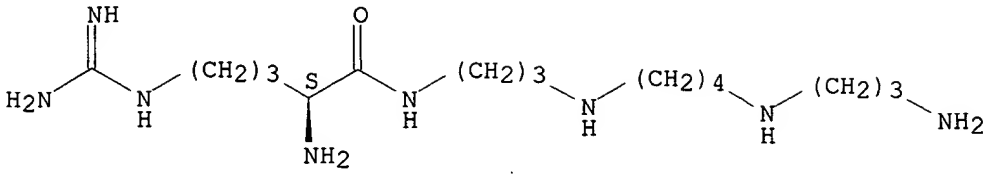
RN 377726-21-1 CAPLUS
CN Butanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



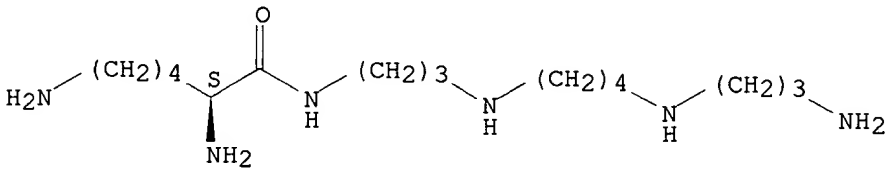
L4 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2002 ACS
AN 2001:872191 CAPLUS
DN 136:130628
TI Novel spermine-Amino acid conjugates and basic tripeptides enhance cleavage of the hairpin ribozyme at low magnesium ion concentration
AU Stolze, Karen; Holmes, Stephen C.; Earnshaw, David J.; Singh, Mohinder; Stetsenko, Dmitry; Williams, Donna; Gait, Michael J.
CS Laboratory of Molecular Biology, Medical Research Council, Cambridge, CB2 2QH, UK
SO Bioorganic & Medicinal Chemistry Letters (2001), 11(23), 3007-3010
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
AB Combinations of the polyamine spermine and magnesium ions synergize to dramatically enhance cleavage of the hairpin ribozyme. Certain synthetic basic tripeptides stimulate hairpin cleavage significantly at limiting magnesium ion concn., notably the tripeptide of L-diaminobutyric acid (Dab). Of a range of novel synthetic spermine-amino acid conjugates, L-Dab-spermine (but not D-Dab nor other amino acid conjugates) was more effective than spermine itself.
IT **134950-94-0P 134951-06-7P 220221-58-9P**
392298-27-0P 392298-28-1P 392298-29-2P
392298-30-5P 392298-31-6P 392298-32-7P
392298-33-8P 392298-34-9P
RL: BSU (Biological study, unclassified); PNU (Preparation, unclassified); BIOL (Biological study); PREP (Preparation)
(novel spermine-amino acid conjugates and basic tripeptides enhance hairpin ribozyme activity at low Mg2+ concn.)
RN 134950-94-0 CAPLUS
CN Pentanamide, 2-amino-5-[(aminoiminomethyl)amino]-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

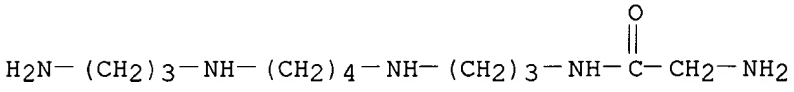


RN 134951-06-7 CAPLUS
CN Hexanamide, 2,6-diamino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

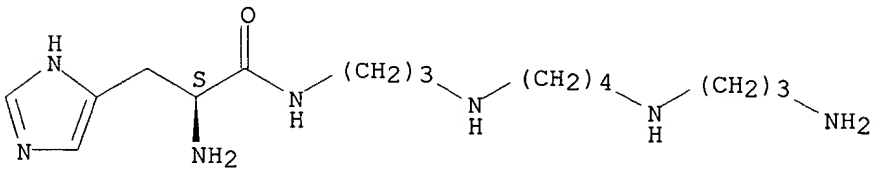


RN 220221-58-9 CAPLUS
CN Acetamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]- (9CI) (CA INDEX NAME)



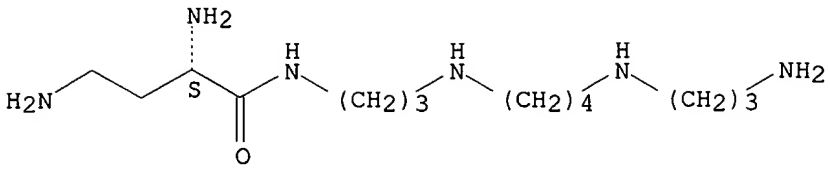
RN 392298-27-0 CAPLUS
CN 1H-Imidazole-4-propanamide, .alpha.-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



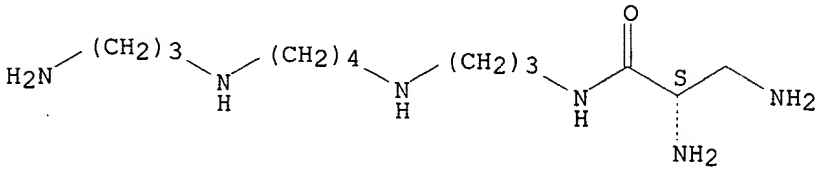
RN 392298-28-1 CAPLUS
CN Butanamide, 2,4-diamino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



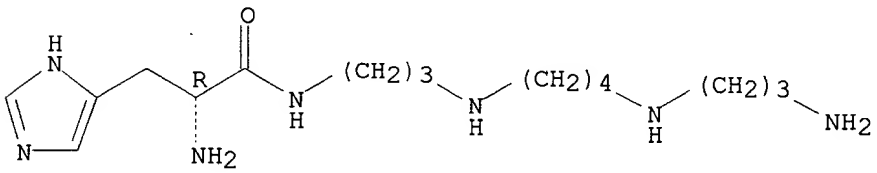
RN 392298-29-2 CAPLUS
CN Propanamide, 2,3-diamino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



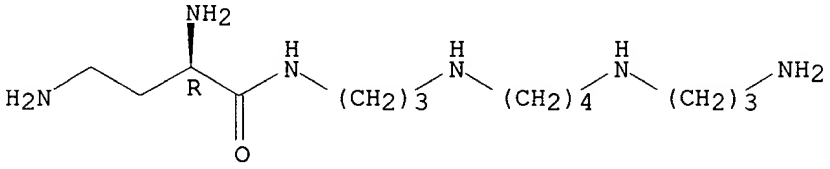
RN 392298-30-5 CAPLUS
CN 1H-Imidazole-4-propanamide, .alpha.-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



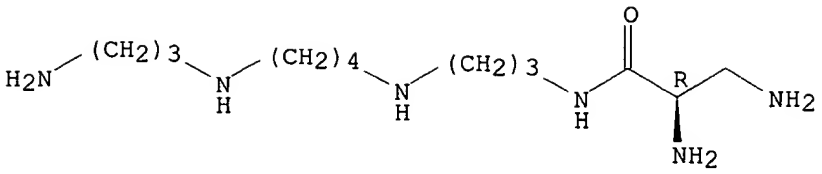
RN 392298-31-6 CAPLUS
CN Butanamide, 2,4-diamino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



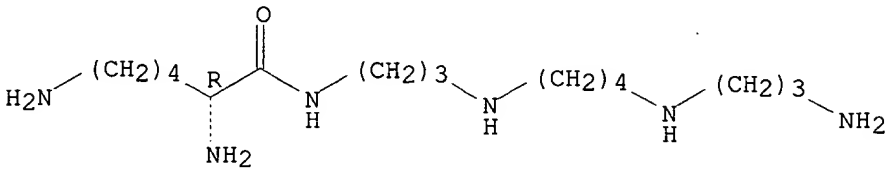
RN 392298-32-7 CAPLUS
CN Propanamide, 2,3-diamino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



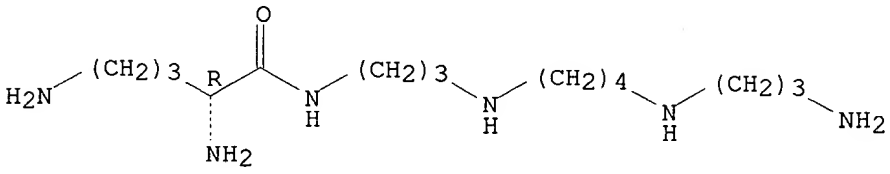
RN 392298-33-8 CAPLUS
CN Hexanamide, 2,6-diamino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 392298-34-9 CAPLUS
CN Pentanamide, 2,5-diamino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2002 ACS
AN 2001:677296 CAPLUS
DN 136:6310
TI Amino Acid/Spermine Conjugates: Polyamine Amides as Potent Spermidine Uptake Inhibitors
AU Burns, Mark R.; Carlson, C. Lance; Vanderwerf, Scott M.; Ziemer, Josh R.; Weeks, Reitha S.; Cai, Feng; Webb, Heather K.; Graminski, Gerard F.
CS Oridigm Corporation, Seattle, WA, 98103, USA
SO Journal of Medicinal Chemistry (2001), 44(22), 3632-3644
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB The authors describe the synthesis and characterization of a series of simple amino acid amides of spermine, some of which potently inhibit the uptake of spermidine into MDA-MB-231 breast cancer cells. The presence of an amide in the functionalized polyamine appeared to add to the affinity for the polyamine transporter. The extensive biol. characterization of an esp. potent analog from this series, spermine lysinamide, H-Lys-NH(CH2)3NH(CH2)4NH(CH2)3NH2 (I), showed that this mol. will be an

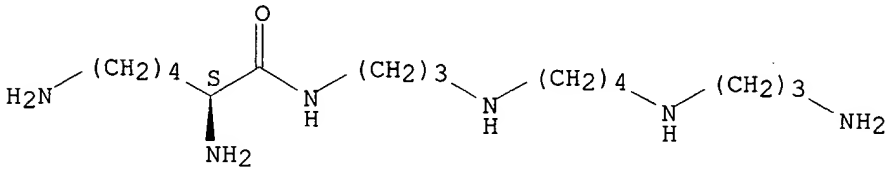
extremely useful tool for use in polyamine research. It was obsd. that the use of I in combination with DFMO led to a cytostatic growth inhibition of a variety of cancer cells, even when used in the presence of an extracellular source of transportable spermidine. It was furthermore shown that this combination effectively reduced the cellular levels of putrescine and spermidine while not affecting the levels of spermine. These facts together with the nontoxic nature of I make it a novel lead for further anticancer development.

IT **374783-07-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(most potent; prepn. of amino acid amides of spermine as potent inhibitors of spermidine uptake by breast cancer cells)

RN 374783-07-0 CAPLUS

CN Hexanamide, 2,6-diamino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, pentahydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

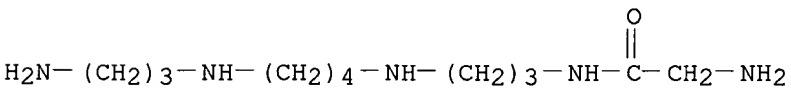


●5 HCl

IT **374782-89-5P 374782-91-9P 374782-92-0P**
374782-93-1P 374782-94-2P 374782-95-3P
374782-96-4P 374782-97-5P 374782-99-7P
374783-01-4P 374783-02-5P 374783-03-6P
374783-04-7P 374783-05-8P 374783-06-9P
374783-08-1P 374783-09-2P 374783-10-5P
374783-11-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of amino acid amides of spermine as potent inhibitors of spermidine uptake by breast cancer cells)

RN 374782-89-5 CAPLUS

CN Acetamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

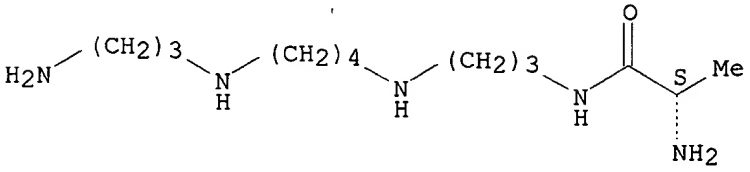


●4 HCl

RN 374782-91-9 CAPLUS

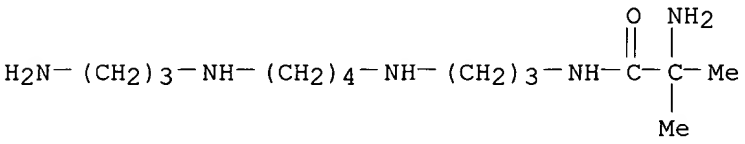
CN Propanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, tetrahydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●4 HCl

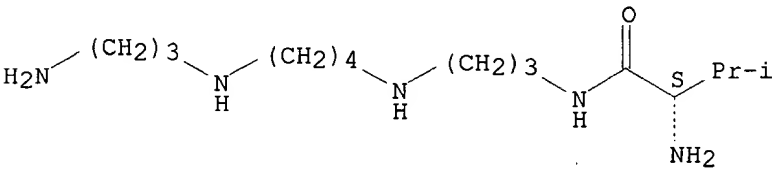
RN 374782-92-0 CAPLUS
CN Propanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-2-methyl-, tetrahydrochloride (9CI) (CA INDEX NAME)



●4 HCl

RN 374782-93-1 CAPLUS
CN Butanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-methyl-, tetrahydrochloride, (2S)- (9CI) (CA INDEX NAME)

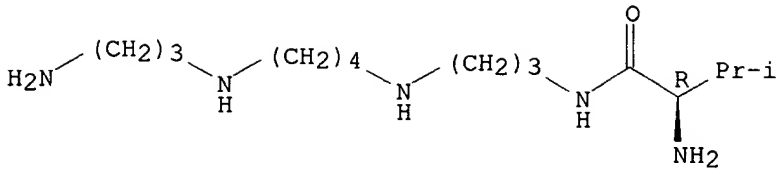
Absolute stereochemistry.



●4 HCl

RN 374782-94-2 CAPLUS
CN Butanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-methyl-, tetrahydrochloride, (2R)- (9CI) (CA INDEX NAME)

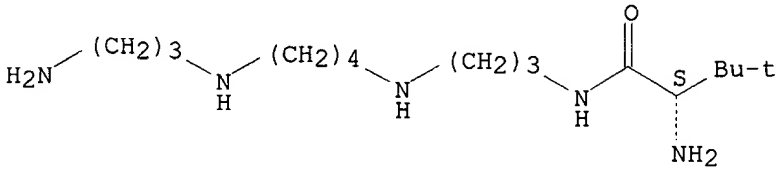
Absolute stereochemistry.



●4 HCl

RN 374782-95-3 CAPLUS
CN Butanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,3-dimethyl-, tetrahydrochloride, (2S)- (9CI) (CA INDEX NAME)

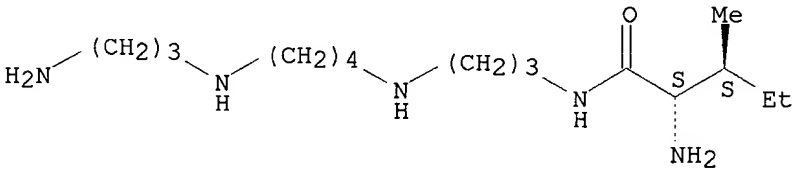
Absolute stereochemistry.



●4 HCl

RN 374782-96-4 CAPLUS
CN Pentanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-methyl-, tetrahydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

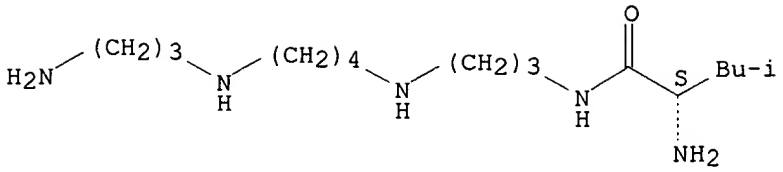
Absolute stereochemistry.



●4 HCl

RN 374782-97-5 CAPLUS
CN Pentanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-4-methyl-, tetrahydrochloride, (2S)- (9CI) (CA INDEX NAME)

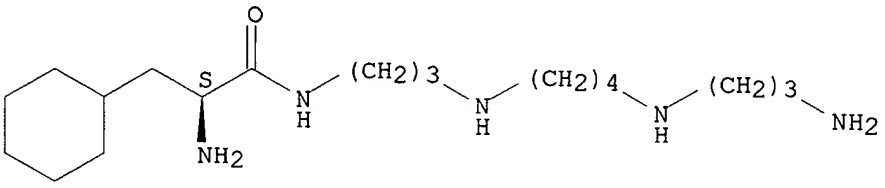
Absolute stereochemistry.



●4 HCl

RN 374782-99-7 CAPLUS
CN Cyclohexanepropanamide, .alpha.-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, tetrahydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

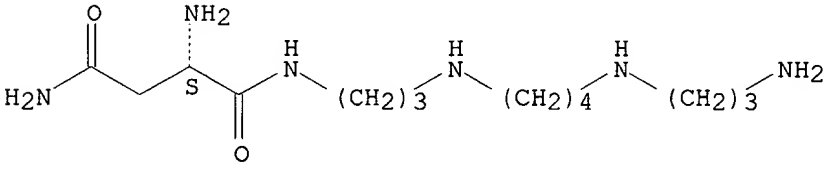
Absolute stereochemistry.



●4 HCl

RN 374783-01-4 CAPLUS
CN Butanediamide, 2-amino-N1-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, tetrahydrochloride, (2S)- (9CI) (CA INDEX NAME)

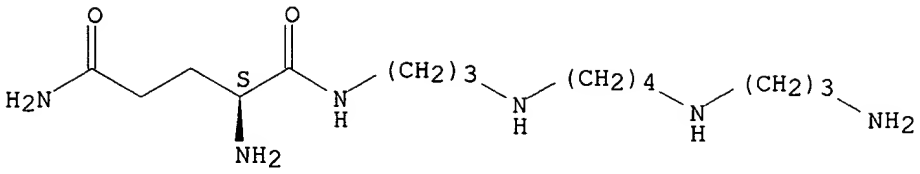
Absolute stereochemistry.



●4 HCl

RN 374783-02-5 CAPLUS
CN Pentanediamide, 2-amino-N1-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, tetrahydrochloride, (2S)- (9CI) (CA INDEX NAME)

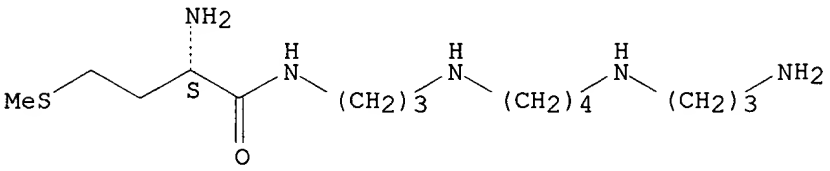
Absolute stereochemistry.



● 4 HCl

RN 374783-03-6 CAPLUS
CN Butanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-4-(methylthio)-, tetrahydrochloride, (2S)- (9CI) (CA INDEX NAME)

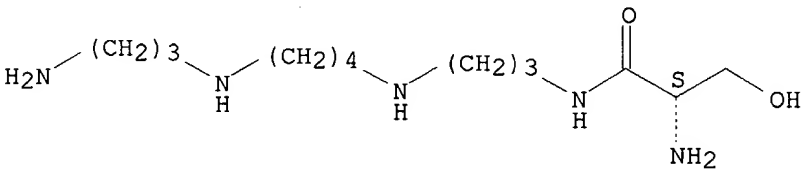
Absolute stereochemistry.



● 4 HCl

RN 374783-04-7 CAPLUS
CN Propanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy-, tetrahydrochloride, (2S)- (9CI) (CA INDEX NAME)

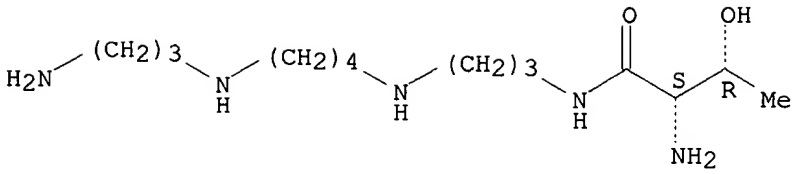
Absolute stereochemistry.



● 4 HCl

RN 374783-05-8 CAPLUS
CN Butanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy-, tetrahydrochloride, (2S,3R)- (9CI) (CA INDEX NAME)

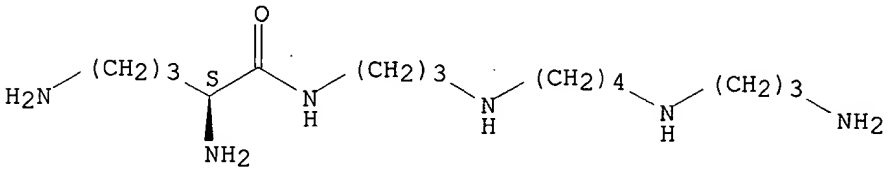
Absolute stereochemistry.



●4 HCl

RN 374783-06-9 CAPLUS
CN Pentanamide, 2,5-diamino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, pentahydrochloride, (2S)- (9CI) (CA INDEX NAME)

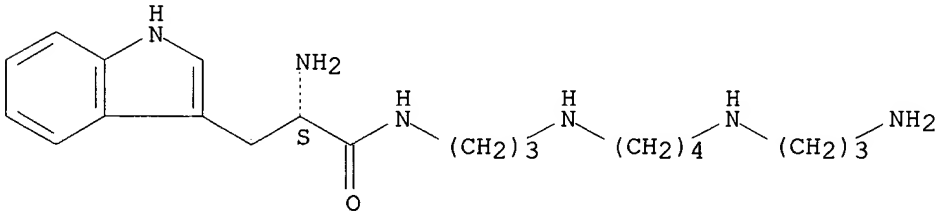
Absolute stereochemistry.



●5 HCl

RN 374783-08-1 CAPLUS
CN 1H-Indole-3-propanamide, .alpha.-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, tetrahydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

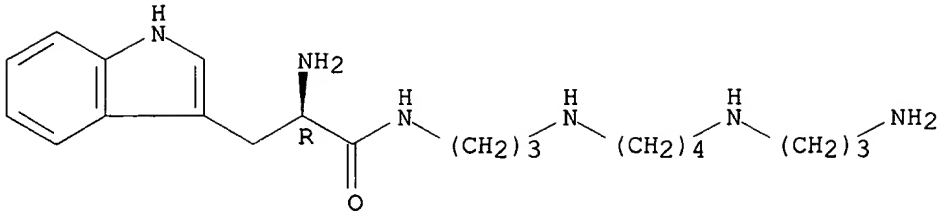
Absolute stereochemistry.



●4 HCl

RN 374783-09-2 CAPLUS
CN 1H-Indole-3-propanamide, .alpha.-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, tetrahydrochloride, (.alpha.R)- (9CI) (CA INDEX NAME)

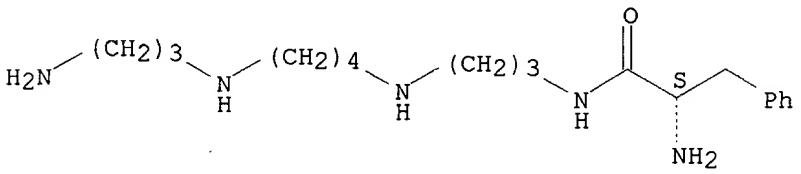
Absolute stereochemistry.



●4 HCl

RN 374783-10-5 CAPLUS
CN Benzenepropanamide, .alpha.-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, tetrahydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

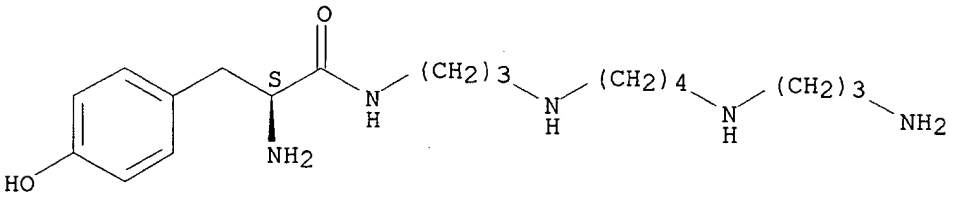
Absolute stereochemistry.



●4 HCl

RN 374783-11-6 CAPLUS
CN Benzenepropanamide, .alpha.-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-4-hydroxy-, tetrahydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●4 HCl

RE.CNT 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2002 ACS
AN 2001:207925 CAPLUS
DN 134:237682

TI Novel polyamine analogues as therapeutic and diagnostic agents
IN Vermeulin, Nicholaas M. J.; O'Day, Christine L.; Webb, Heather K.; Burns, Mark R.; Bergstrom, Donald E.
PA Oridigm Corporation, USA
SO Eur. Pat. Appl., 140 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

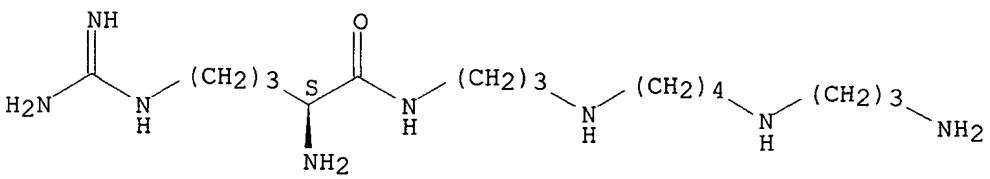
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 1085011	A1	20010321	EP 2000-308049	20000915
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
			US 1999-396523 A	19990915
JP 2001172244	A2	20010626	JP 2000-282752	20000918
			US 1999-396523 A	19990915

AB Novel inhibitors of polyamine transport having inhibition consts. two orders of magnitude lower than those of known compds. are disclosed. These polyamine analogs are useful pharmaceutical agents for treating disease where it is desired to inhibit polyamine transport or other polyamine binding proteins, for example cancer and post-angioplasty injury. Novel chem. synthetic methods to obtain polyamine analogs are disclosed, including the prodn. of a combinatorial polyamine library. These approaches yield analogs with desirable activities both for diagnostic and research assays and therapy. The assays of the invention are useful for high throughput screening of targets in the discovery of drugs that interact with the polyamine system.

IT **134950-94-0P 134951-06-7P 207501-47-1P**
220221-40-9P 220221-58-9P 220221-61-4P
220221-68-1P 220221-70-5P 220221-75-0P
220221-77-2P 220221-83-0P 287968-61-0P
330162-58-8P 330162-75-9P 330162-78-2P
330162-81-7P 330162-89-5P 330162-90-8P
330162-91-9P 330162-92-0P 330162-93-1P
330162-94-2P 330162-97-5P 330162-98-6P
330162-99-7P 330163-00-3P 330163-01-4P
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of polyamines as therapeutic and diagnostic agents)

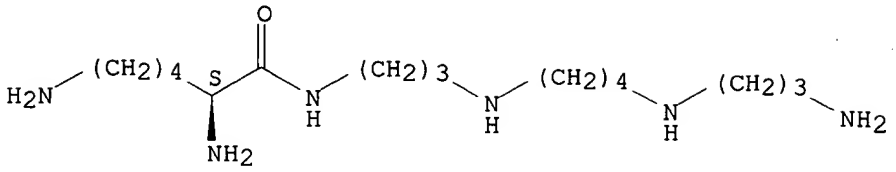
RN 134950-94-0 CAPLUS
CN Pentanamide, 2-amino-5-[(aminoiminomethyl)amino]-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



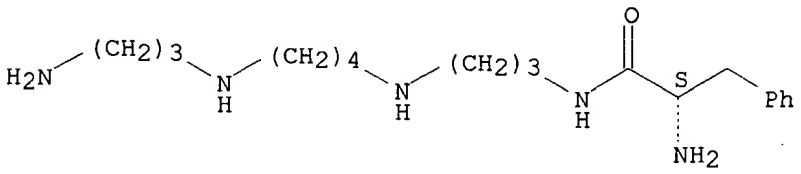
RN 134951-06-7 CAPLUS
CN Hexanamide, 2,6-diamino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

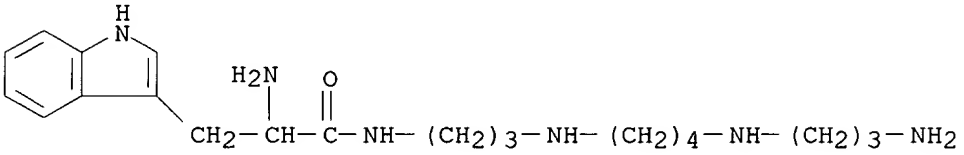


RN 207501-47-1 CAPLUS
CN Benzenepropanamide, .alpha.-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

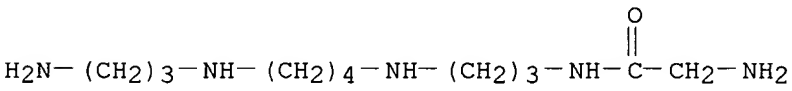
Absolute stereochemistry.



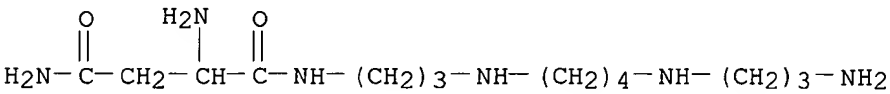
RN 220221-40-9 CAPLUS
CN 1H-Indole-3-propanamide, .alpha.-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]- (9CI) (CA INDEX NAME)



RN 220221-58-9 CAPLUS
CN Acetamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]- (9CI) (CA INDEX NAME)

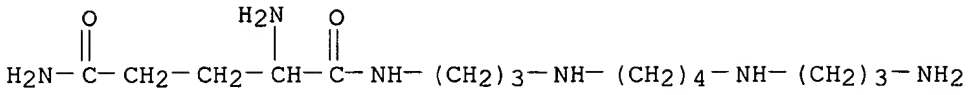


RN 220221-61-4 CAPLUS
CN Butanediamide, 2-amino-N1-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]- (9CI) (CA INDEX NAME)

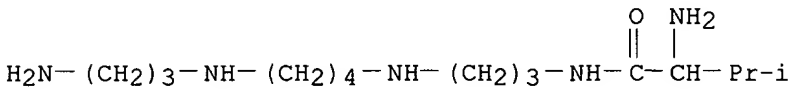


RN 220221-68-1 CAPLUS
CN Pentanediamide, 2-amino-N1-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl

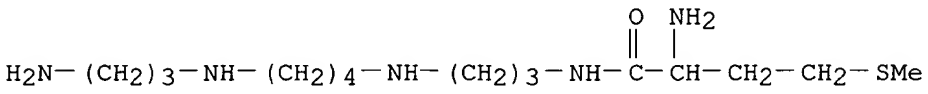
]- (9CI) (CA INDEX NAME)



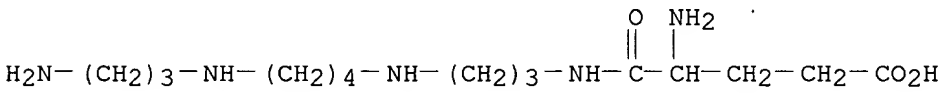
RN 220221-70-5 CAPLUS
CN Butanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-methyl- (9CI) (CA INDEX NAME)



RN 220221-75-0 CAPLUS
CN Butanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-4-(methylthio)- (9CI) (CA INDEX NAME)

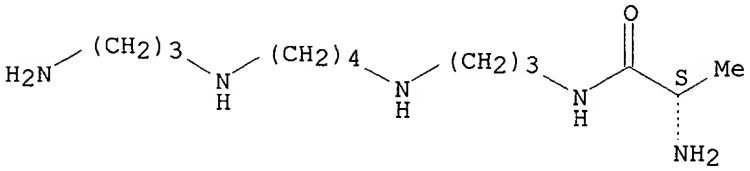


RN 220221-77-2 CAPLUS
CN Pentanoic acid, 4-amino-5-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-5-oxo- (9CI) (CA INDEX NAME)



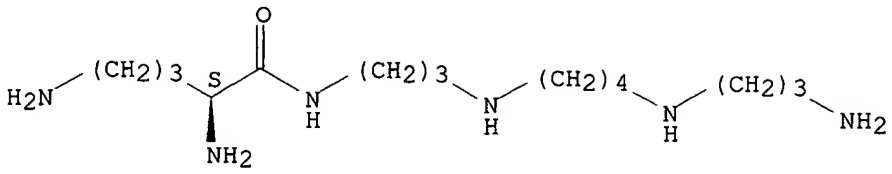
RN 220221-83-0 CAPLUS
CN Propanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 287968-61-0 CAPLUS
CN Pentanamide, 2,5-diamino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (2S)- (9CI) (CA INDEX NAME)

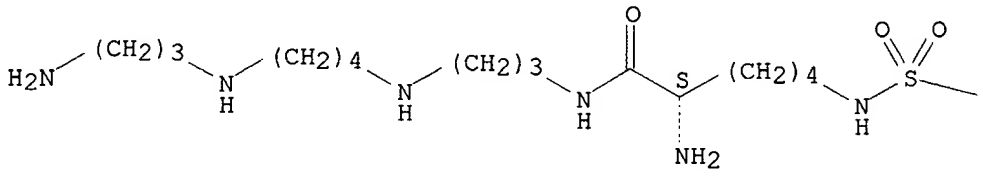
Absolute stereochemistry.



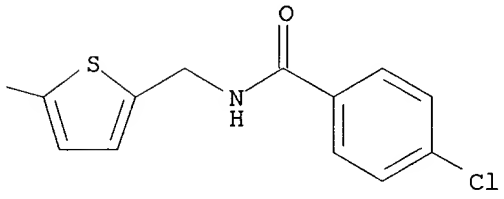
RN 330162-58-8 CAPLUS
CN Benzamide, N-[[5-[[[(5S)-5-amino-6-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-6-oxohexyl]amino]sulfonyl]-2-thienyl]methyl]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



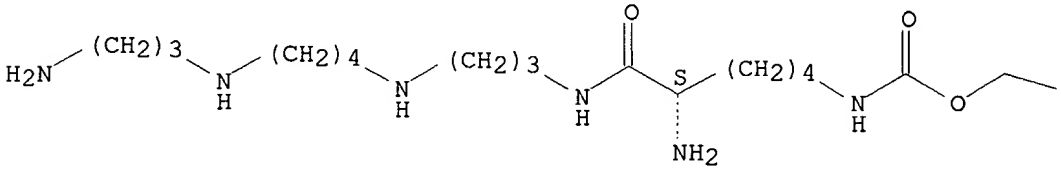
PAGE 1-B



RN 330162-75-9 CAPLUS
CN 2,9,13,18-Tetraazaheneicosanoic acid, 7,21-diamino-8-oxo-, phenylmethyl ester, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

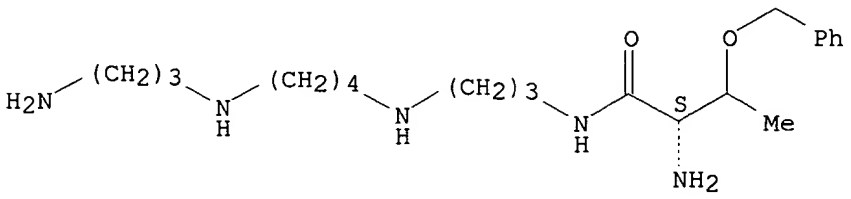


PAGE 1-B

Ph

RN 330162-78-2 CAPLUS
CN Butanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-(phenylmethoxy)-, (2S)- (9CI) (CA INDEX NAME)

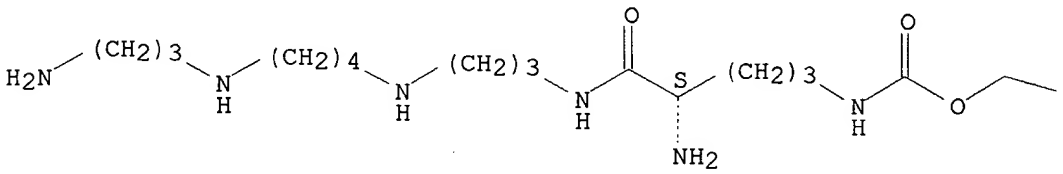
Absolute stereochemistry.



RN 330162-81-7 CAPLUS
CN 2,8,12,17-Tetraazaeicosanoic acid, 6,20-diamino-7-oxo-, phenylmethyl ester, (6S)- (9CI) (CA INDEX NAME)

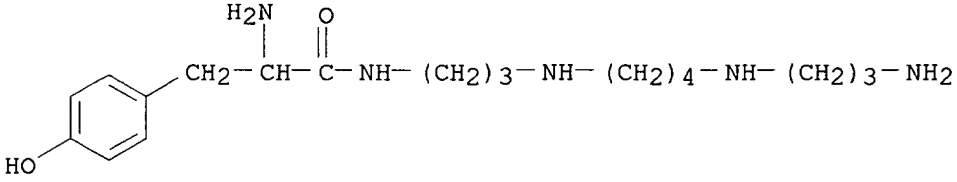
Absolute stereochemistry.

PAGE 1-A

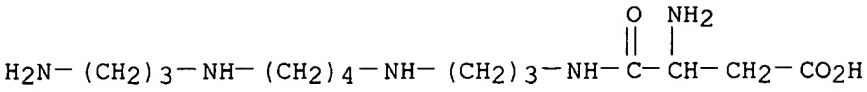


PAGE 1-B

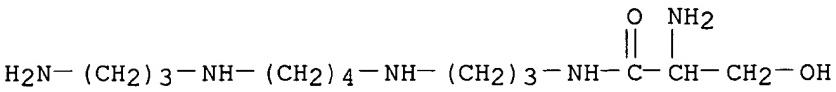
RN 330162-89-5 CAPLUS
CN Benzenepropanamide, .alpha.-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-4-hydroxy- (9CI) (CA INDEX NAME)



RN 330162-90-8 CAPLUS
CN Butanoic acid, 3-amino-4-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-4-oxo- (9CI) (CA INDEX NAME)

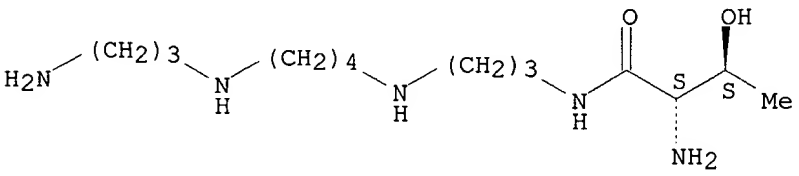


RN 330162-91-9 CAPLUS
CN Propanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy- (9CI) (CA INDEX NAME)



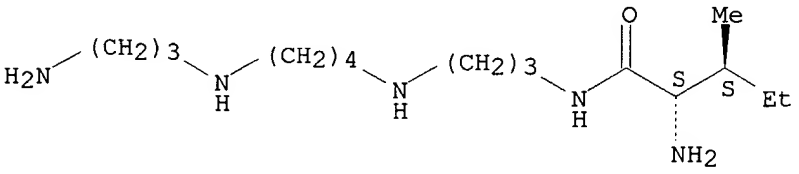
RN 330162-92-0 CAPLUS
CN Butanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-hydroxy-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



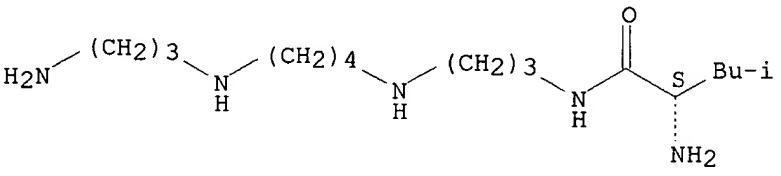
RN 330162-93-1 CAPLUS
CN Pentanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-methyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 330162-94-2 CAPLUS
CN Pentanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

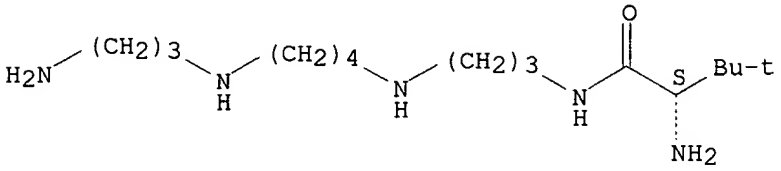
Absolute stereochemistry.



RN 330162-97-5 CAPLUS
CN Butanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3,3-

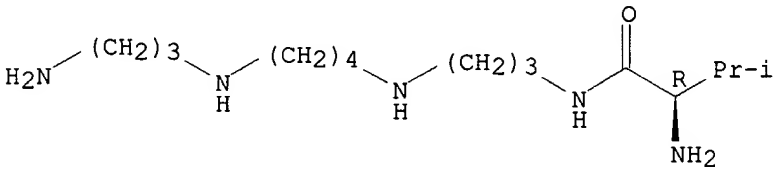
dimethyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

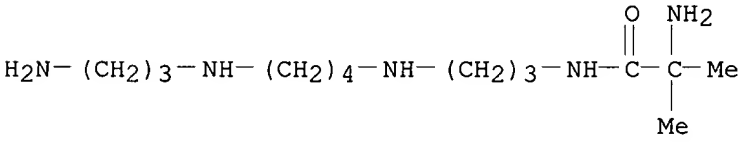


RN 330162-98-6 CAPLUS
CN Butanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

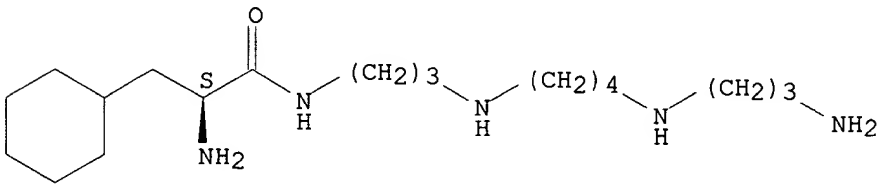


RN 330162-99-7 CAPLUS
CN Propanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-2-methyl- (9CI) (CA INDEX NAME)



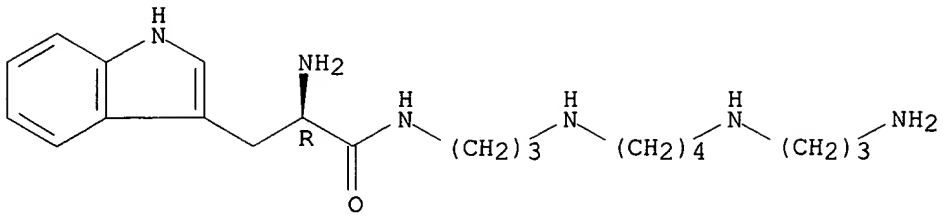
RN 330163-00-3 CAPLUS
CN Cyclohexanepropanamide, .alpha.-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 330163-01-4 CAPLUS
CN 1H-Indole-3-propanamide, .alpha.-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

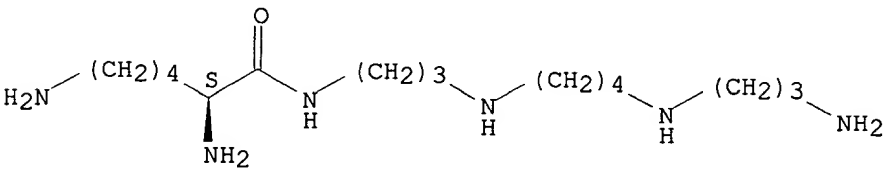
Absolute stereochemistry.

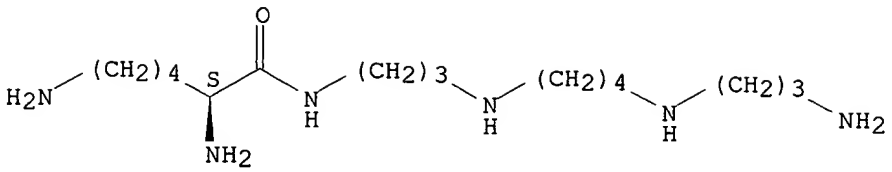


RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2002 ACS
AN 2001:120148 CAPLUS
DN 135:116720
TI Polyamine depletion therapy in prostate cancer
AU Devens, B. H.; Weeks, R. S.; Burns, M. R.; Carlson, C. L.; Brawer, M. K.
CS Oridigm Corporation, Seattle, WA, 98133, USA
SO Prostate Cancer and Prostatic Diseases (2000), 3(4), 275-279
CODEN: PCPDFW; ISSN: 1365-7852
PB Nature Publishing Group
DT Journal
LA English
AB The prostate gland has among the highest level of polyamines in the body and prostate carcinomas have even higher polyamine concns. Attempts to limit tumor growth by inhibition of polyamine synthesis have not been very successful since cells have the capacity to take up polyamines from the blood. This work reports studies utilizing polyamine depletion by means of a combination of blockade of polyamine synthesis with DFMO (.alpha.-difluoromethylornithine), an inhibitor of ornithine decarboxylase, the rate-limiting enzyme in the polyamine-synthetic pathway, and ORI 1202, a novel inhibitor of polyamine transport into the cell. This cytostatic combination, even in the presence of excess extracellular polyamines, slowed the growth of the human prostate tumor cell line PC-3 grown in tissue culture, with an EC50 in the micromolar range. Other prostate cell lines were similarly growth inhibited, including LNCaP.FGC and DU145. Growth of the PC-3 tumor cell line as a xenograft in nude mice was also slowed by this combination of compds. Polyamine concns. in the tumor were lowered from control values. This combination therapy could provide an effective and potentially nontoxic therapy for prostate tumors.
IT **134951-06-7**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polyamine depletion therapy in prostate cancer by treatment with)
RN 134951-06-7 CAPLUS
CN Hexanamide, 2,6-diamino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

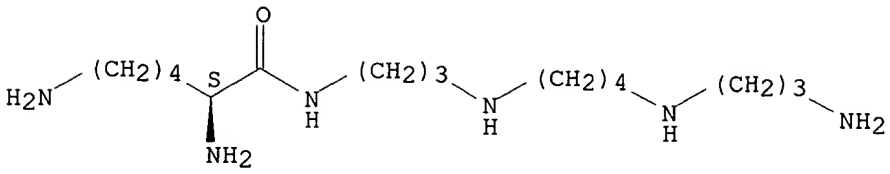




RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2002 ACS
AN 2000:808449 CAPLUS
DN 134:141470
TI Novel lysine-spermine conjugate inhibits polyamine transport and inhibits cell growth when given with DFMO
AU Weeks, Reitha S.; Vanderwerf, Scott M.; Carlson, C. Lance; Burns, Mark R.; O'Day, Christine L.; Cai, Feng; Devens, Bruce H.; Webb, Heather K.
CS Oridigm Corporation, Seattle, WA, 98103, USA
SO Experimental Cell Research (2000), 261(1), 293-302
CODEN: ECREAL; ISSN: 0014-4827
PB Academic Press
DT Journal
LA English
AB Polyamines are ubiquitous mols. with multiple intracellular functions. Cells tightly regulate their levels through feedback mechanisms affecting synthesis, intracellular conversion, and transport. Because polyamines have an important role in regulating cell growth, they are a target for cancer therapeutic development. However, to effectively inhibit cell growth through polyamine depletion one needs to inhibit both polyamine synthesis and import. Although the mammalian polyamine transporter has not been cloned, we have identified ORI 1202, an N1-spermine-L-lysinyl amide, as an effective polyamine transport inhibitor. ORI 1202 prevents the cellular accumulation of [3H]spermidine over a 20-h test period. ORI 1202 (30-100 .mu.M) effectively inhibits cell growth when used in conjunction with the polyamine synthesis inhibitor .alpha.-difluoromethylornithine (DFMO; .gtoreq.230 .mu.M). Human breast, prostate, and bladder carcinoma cell lines and melanoma cell lines show ORI 1202 EC50 values in the low micromolar range when tested in conjunction with DFMO. This cytostatic effect correlates with a redn. in the intracellular levels of putrescine and spermidine. When ORI 1202 (45 mg/kg, i.p., tidx5) and DFMO (1% in drinking water) were delivered over 14 days, MDA-MB-231 breast tumor xenografts in nude mice showed 50% growth inhibition. Polyamine depletion therapy provides a cytostatic therapy that could be useful against cancer and other diseases resulting from uncontrolled cell growth. (c) 2000 Academic Press.
IT **134951-06-7**, ORI 1202
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(novel lysine-spermine conjugate, ORI 1202 inhibits polyamine transport and inhibits cell growth when given with DFMO)
RN 134951-06-7 CAPLUS
CN Hexanamide, 2,6-diamino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2002 ACS
AN 2000:553544 CAPLUS
DN 133:164201
TI Preparation of agmatine and polyamine analogs as antizyme modulators for use as drugs and agricultural agents
IN Vermeulin, Nicolaas M. J.; Burns, Mark R.; Webb, Heather K.
PA Oridigm Corporation, USA
SO PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000046187	A2	20000810	WO 2000-US2972	20000204
	WO 2000046187	A3	20001214		
	W: AL, AM, AU, AZ, BA, BB, BG, BR, CA, CN, CU, CZ, EE, FI, GE, HU, IL, IS, JP, KG, KP, KR, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				US 1999-118892PP	19990205
	EP 1159261	A2	20011205	EP 2000-913365	20000204
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
				US 1999-118892PP	19990205
				WO 2000-US2972 W	20000204

AB A polyamine analog of spermine comprising of four amine groups capable of forming four pos. charges at physiol. pH, wherein the first and second amine groups, and the third and fourth amine groups, are sepd. by the distance of four cC-C and or C-N bonds and the second and third amine are sepd. by the distance of five C-C and/or C-N bonds or more; wherein the the second and third amines are sepd. by a straight or branched C2-10-alkyl, -alkenyl, -alkynyl, alkoxy, aliph.; C3-10-alicyclic, single or multi-ring arom. or aryl; aryl-substituted alkyl, alkenyl, alkynyl; multiring aryl-substituted aliph.; aliph.-substituted single or multi-ring arom.; alkyl-, alkenyl-, alkynyl-substituted aryl; single or multi-ring heterocyclic; single or multi-ring heterocyclic-substituted aliph.; aliph.-substituted arom.; heterocyclic-substituted alkyl, alkenyl, alkynyl; alkyl-, alkenyl-, alkynyl-substituted heterocycle and wherein said analog induces expression of full-length antizyme. The present invention is directed to agmatine and polyamine analogs and their use as drugs, as well as agricultural or environmentally useful agents. As drugs, the analogs decrease cellular polyamine levels, possibly by inducing antizyme, and can be used to treat disorders of undesired cell proliferation, including cancer, viral infections and bacterial

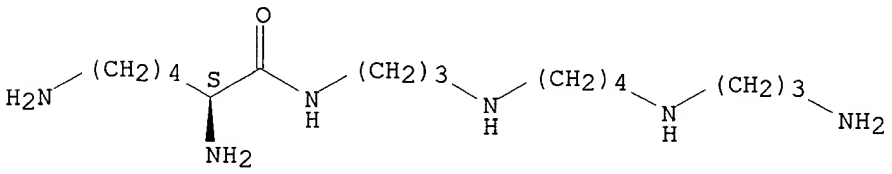
infections. The analogs may be utilized in pharmaceutical compns. either alone or in combination with other agents, particularly other inhibitors of polyamine synthesis or transport, but including other inhibitors of cell proliferation. The analogs are not necessarily metabolized to contribute to the polyamine pool and are designed to enter cells by pathways independent of polyamine transport. The invention further defines structural elements/motifs within these analogs that are key to their induction of antizyme.

IT **134951-06-7P**, N1-(L-Lysyl)spermine **287968-61-0P**, N1-(L-Ornithyl)spermine **287968-62-1P**, N1-(L-Valyl)spermine
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of agmatine and polyamine analogs as antizyme modulators for use as drugs and agricultural agents)

RN 134951-06-7 CAPLUS

CN Hexanamide, 2,6-diamino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (2S)- (9CI) (CA INDEX NAME)

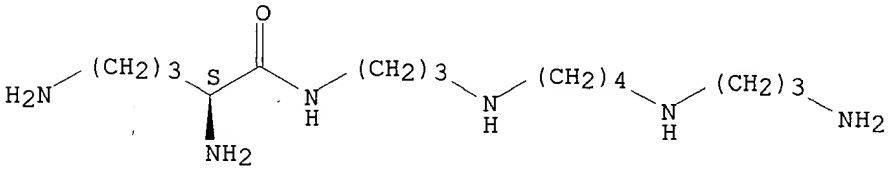
Absolute stereochemistry.



RN 287968-61-0 CAPLUS

CN Pentanamide, 2,5-diamino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (2S)- (9CI) (CA INDEX NAME)

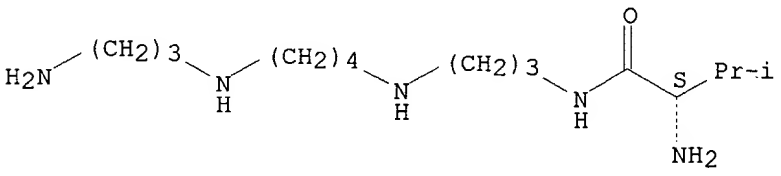
Absolute stereochemistry.



RN 287968-62-1 CAPLUS

CN Butanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2002 ACS

AN 1999:77533 CAPLUS
DN 130:153469
TI Novel polyamine analogs as therapeutic and diagnostic agents
IN Vermeulin, Nicolaas M. J.; O'Day, Christine L.; Webb, Heather K.; Burns, Mark R.; Bergstrom, Donald E.
PA Oridigm Corporation, USA
SO PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9903823	A2	19990128	WO 1998-US14896	19980715
	WO 9903823	A3	19990408		
	W: AL, AM, AU, AZ, BA, BB, BG, BR, CA, CN, CU, CZ, EE, FI, GE, HU, IL, IS, JP, KG, KP, KR, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				US 1997-52586P P	19970715
				US 1997-65728P P	19971114
				US 1998-85538P P	19980515
	AU 9884968	A1	19990210	AU 1998-84968	19980715
				US 1997-52586P P	19970715
				US 1997-65728P P	19971114
				US 1998-85538P P	19980515
				WO 1998-US14896W	19980715
	EP 1001927	A2	20000524	EP 1998-935790	19980715
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
				US 1997-52586P P	19970715
				US 1997-65728P P	19971114
				US 1998-85538P P	19980515
				WO 1998-US14896W	19980715
	JP 2001510181	T2	20010731	JP 2000-503054	19980715
				US 1997-52586P P	19970715
				US 1997-65728P P	19971114
				US 1998-85538P P	19980515
				WO 1998-US14896W	19980715
	US 6172261	B1	20010109	US 1999-341400	19990903
				US 1997-52586P P	19970715
				US 1997-65728P P	19971114
				US 1998-85538P P	19980515
				WO 1998-US14896W	19980715

OS MARPAT 130:153469
AB Title inhibitors RXR1 [R =H, or is a head group consisting of a straight or branched C1-10 aliph., alicyclic, single or multiring arom., single or multiring aryl substituted aliph., etc.; R1 is a polyamine; X = CO, NHCO, NHCS, SO2] and pharmaceutical acceptable salts of polyamine transport having inhibition consts. two orders of magnitude lower than those of known compds. are disclosed. These polyamine analogs are useful pharmaceutical agents for treating diseases where it is desired to inhibit polyamine transport or other polyamine binding proteins, for example cancer and post-angioplasty injury and the introduction of a 3-amidopropyl group to the diaminobutyl part of spermidine produce a significantly better transport inhibitor. Novel chem. synthetic methods to obtain

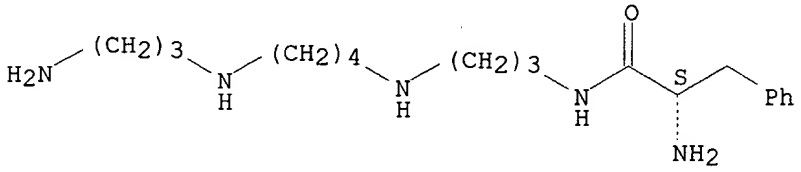
polyamine analogs are disclosed, including the prodn. of a combinatorial polyamine library. These approaches yield analogs with desirable activities both for diagnostic and research assays and therapy. The assays of the invention are useful for high throughput screening of targets in the discovery of drugs that interact with the polyamine system. Thus, I was prepd. from 1-aminoanthracene, 4-nitrophenyl chloroformate, and spermine.

IT **207501-47-1P 220221-40-9P 220221-58-9P**
220221-61-4P 220221-68-1P 220221-70-5P
220221-75-0P 220221-77-2P 220221-83-0P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of polyamines as therapeutic and diagnostic agents)

RN 207501-47-1 CAPLUS

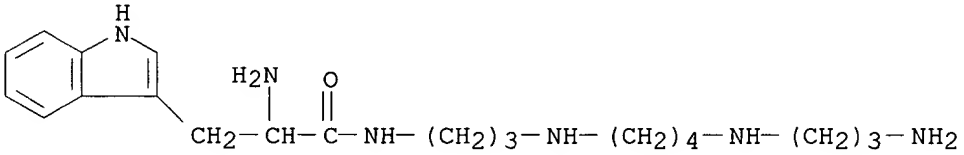
CN Benzenepropanamide, .alpha.-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



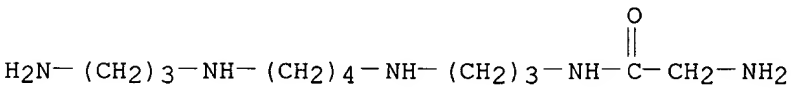
RN 220221-40-9 CAPLUS

CN 1H-Indole-3-propanamide, .alpha.-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]- (9CI) (CA INDEX NAME)



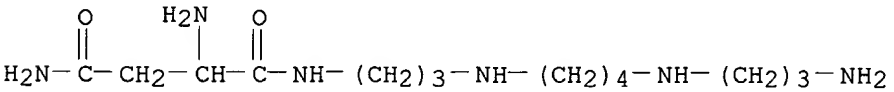
RN 220221-58-9 CAPLUS

CN Acetamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]- (9CI) (CA INDEX NAME)

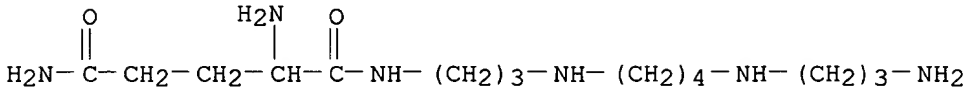


RN 220221-61-4 CAPLUS

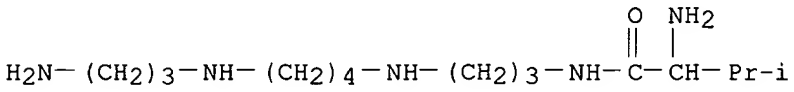
CN Butanediamide, 2-amino-N1-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]- (9CI) (CA INDEX NAME)



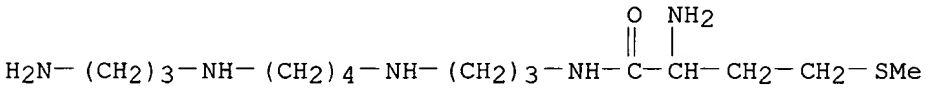
RN 220221-68-1 CAPLUS
CN Pentanediamide, 2-amino-N1-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]- (9CI) (CA INDEX NAME)



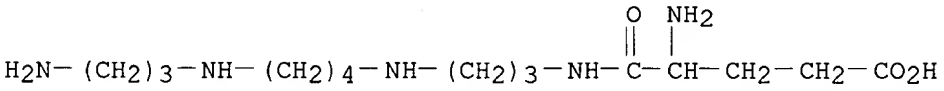
RN 220221-70-5 CAPLUS
CN Butanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-3-methyl- (9CI) (CA INDEX NAME)



RN 220221-75-0 CAPLUS
CN Butanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-4-(methylthio)- (9CI) (CA INDEX NAME)

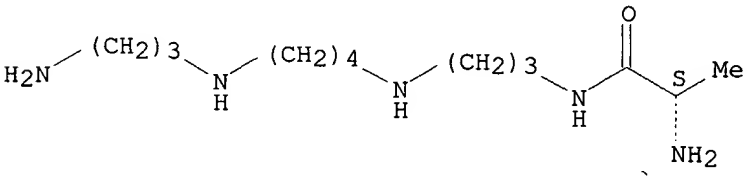


RN 220221-77-2 CAPLUS
CN Pentanoic acid, 4-amino-5-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]-5-oxo- (9CI) (CA INDEX NAME)



RN 220221-83-0 CAPLUS
CN Propanamide, 2-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



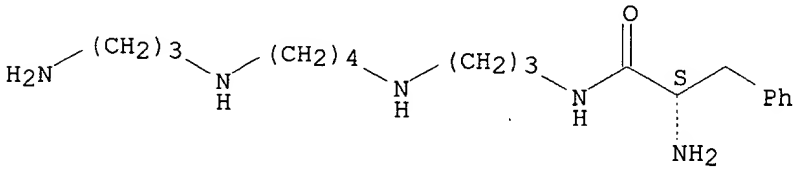
L4 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2002 ACS
AN 1998:220203 CAPLUS
DN 129:4517
TI Solid phase organic synthesis of polyamine derivatives and initial

biological evaluation of their antitumoral activity
AU Tomasi, Sophie; Le Roch, Myriam; Renault, Jacques; Corbel, Jean-Charles; Uriac, Philippe; Carboni, Bertrand; Moncoq, Damien; Martin, Benedicte; Delcros, Jean-Guy
CS Pharmacochimie de Molecules de Synthese et de Produits Naturels, Fac. de Pharmacie, Rennes, 35043, Fr.
SO Bioorg. Med. Chem. Lett. (1998), 8(6), 635-640
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
AB A series of N1-monosubstituted putrescine and spermine derivs. was synthesized using a solid phase methodol. Their cytotoxicity, calmodulin antagonism and polyamine uptake inhibition, pharmacol. properties shared by some antitumoral agents was evaluated.
IT **207501-48-2P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(solid phase org. synthesis of polyamine derivs. and initial biol. evaluation of antitumoral activity)
RN 207501-48-2 CAPLUS
CN Benzenepropanamide, .alpha.-amino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (.alpha.S)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

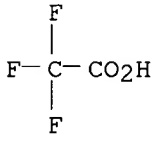
CRN 207501-47-1
CMF C19 H35 N5 O

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



L4 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2002 ACS
AN 1991:442004 CAPLUS
DN 115:42004
TI Use of polyamines as calcium channel regulating agents

IN Cherksey, Bruce D.; Llinas, Rodolfo R.; Sugimori, Mutsuyuki
PA New York University, USA
SO PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9100853	A1	19910124	WO 1990-US3771	19900703
	W: AU, CA, FI, HU, JP, KR, SU, US, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
				US 1989-375776	19890703
				US 1989-427333	19891026
	CA 2062810	AA	19910104	CA 1990-2062810	19900703
				US 1989-375776	19890703
				US 1989-427333	19891026
	AU 9059573	A1	19910206	AU 1990-59573	19900703
				US 1989-375776	19890703
				US 1989-427333	19891026
				WO 1990-US3771	19900703
	ZA 9005187	A	19920325	ZA 1990-5187	19900703
				US 1989-375776	19890703
	JP 05500357	T2	19930128	JP 1990-510172	19900703
				US 1989-427333	19891026
				WO 1990-US3771	19900703
	EP 597830	A1	19940525	EP 1990-911163	19900703
	R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
				US 1989-375776	19890703
				US 1989-427333	19891026
				WO 1990-US3771	19900703
	US 5432202	A	19950711	US 1993-71768	19930609
				US 1988-154845	19880210
				US 1988-219105	19880714
				US 1989-375776	19890703
				US 1992-817900	19920103

PATENT FAMILY INFORMATION:
FAN 1990:135587

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 8907608	A1	19890824	WO 1989-US558	19890210
	W: AU, DK, JP, US				
	RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
				US 1988-154845	19880210
				US 1988-219105	19880714
	US 4950739	A	19900821	US 1988-219105	19880714
				US 1988-154845	19880210
	AU 8931932	A1	19890906	AU 1989-31932	19890210
				US 1988-154845	19880210
				US 1988-219105	19880714
				WO 1989-US558	19890210
	EP 357730	A1	19900314	EP 1989-902889	19890210
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
				US 1988-154845	19880210
				US 1988-219105	19880714
	JP 02503202	T2	19901004	JP 1989-502681	19890210
				US 1988-154845	19880210
				US 1988-219105	19880714
				WO 1989-US558	19890210

	DK 8904981	A	19891204	DK 1989-4981	19891009
				US 1988-154845	19880210
				US 1988-219105	19880714
				WO 1989-US558	19890210
	US 5432202	A	19950711	US 1993-71768	19930609
				US 1988-154845	19880210
				US 1988-219105	19880714
				US 1989-375776	19890703
				US 1992-817900	19920103

FAN 1993:552118

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9312777	A1	19930708	WO 1992-US11352	19921231
	W: AU, CA, JP				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				US 1992-817900	19920103
	US 5242947	A	19930907	US 1992-817900	19920103
				US 1988-154845	19880210
				US 1988-219105	19880714
				US 1989-375776	19890703
	AU 9334283	A1	19930728	AU 1993-34283	19921231
				US 1992-817900	19920103
				WO 1992-US11352	19921231
	US 5432202	A	19950711	US 1993-71768	19930609
				US 1988-154845	19880210
				US 1988-219105	19880714
				US 1989-375776	19890703
				US 1992-817900	19920103

OS MARPAT 115:42004

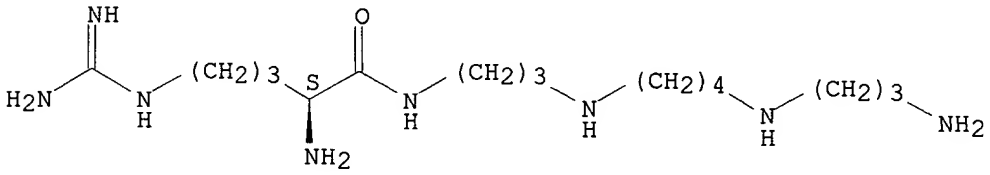
AB Polyamines R(CH2)xNH(CH2)yNH2 (R = nonarom. contg. .gtoreq.1 amino, imino, amido, imido, and/or may be appended by CX2ONH; X = H, NH2; x = 0-15; y = 1-15; with provisions) are used to modulate, block or stimulate Ca channels resistant to dinydropyridine, conotoxin, and octanol. Thus, NH2(CH2)4CH(NH2)CONH(CH2)4NH(CH2)3NH2 increased the dosage of Nembutal necessary to anesthetize rats.

IT **134950-94-0 134951-06-7**
RL: BIOL (Biological study)
(calcium channel regulator)

RN 134950-94-0 CAPLUS

CN Pentanamide, 2-amino-5-[(aminoiminomethyl)amino]-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (2S)- (9CI) (CA INDEX NAME)

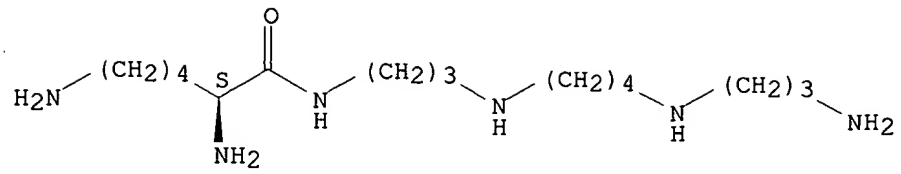
Absolute stereochemistry.



RN 134951-06-7 CAPLUS

CN Hexanamide, 2,6-diamino-N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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